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(54) Title: CONVENIENT SYNTHESIS OF S-FLUOROMETHYL 6ALPHA, 9ALPHA-DIFLUORO-11BETA-HYDROXY-16ALPHA-METHYL-17ALPHA-PROPIONYLOXY-3-OXOANDROSTA-1, 4-DIENE-17BETA-CARBOETHIOATE

(57) Abstract: The present invention provides a convenient process for the preparation of S-fluoromethyl 6a, 9a-difluoro-11b-hydroxy-16a-methyl-17a-propionyloxy-3-oxoandrosta-1, 4-diene-17b-carboethioate, a compound of formula (1), comprising (a) treating 17b-[(N, N-dimethylcarbamoyl)thio]carbonyl-6a,9a-difluoro-11b-hydroxy-16a-methyl-17a-propionyloxy-3-oxoandrosta-1, 4-diene, a compound of formula (3) with alkali metal carbonate-alcohol system to obtain 6a, 9a-difluoro-11b-hydroxy-16a-methyl-17a-propionyloxy-3-oxoandrosta-1, 4-diene-17b-carboethioic acid, a compound of formula (4); (b) reacting the compound of formula (4) with bromofluoromethane to yield the compound of formula (1). The present invention also provides an improved process for preparation of compound of formula (1) comprising (a) reacting 6a, 9a-difluoro-11b-hydroxy-16a-methyl-3-oxo-17a-(propionyloxy) androsta-1, 4-dien-17b-carboxylic acid, a compound of formula (2), with N,N-dimethylthiocarbamoyl chloride in an inert aprotic solvent in the presence of an iodide catalyst and a base to give a compound of formula (3), (b) reacting the compound of formula (3) with a hydrosulfide reagent and bromofluoromethane to obtain a compound of formula (1).

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